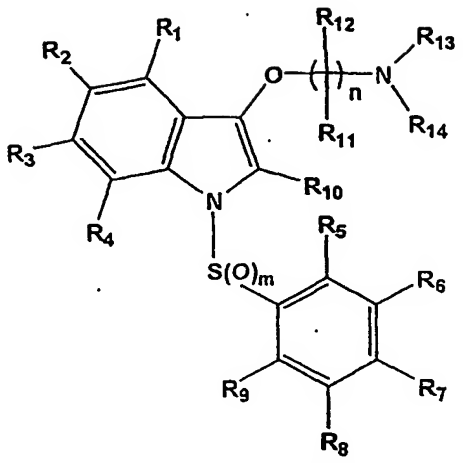


General Formula (I)

wherein R_1, R_2, R_3, R_4, R_5, R_6, R_7, R_8, R_9, R_{10}, R_{11} and R_{12} may be same or different, and represent hydrogen, halogen, perhaloalkyl, hydroxy, thio, amino, nitro, cyano, formyl, amidino, guanidino, substituted or unsubstituted groups selected from linear or branched (C_1-C_{12})alkyl, (C_2-C_{12})alkenyl, (C_2-C_{12})alkynyl, (C_3-C_7)cycloalkyl, (C_3-C_7)cycloalkenyl, bicycloalkyl, bicycloalkenyl, (C_1-C_{12})alkoxy, cyclo(C_3-C_7)alkoxy, aryl, aryloxy, aralkyl, aralkoxy, heterocyclyl, heteroaryl, heterocyclylalkyl, heteroaralkyl, heteroaryloxy, heteroaralkoxy, heterocyclylalkyloxy, acyl, acyloxy, acylamino, monoalkylamino, dialkylamino, arylamino, diarylamino, aralkylamino, alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, heterocyclylalkoxycarbonyl, heteroaryloxycarbonyl, hydroxyalkyl, aminoalkyl, monoalkylaminoalkyl, dialkylaminoalkyl, alkoxyalkyl arylalkyl, aralkoxyalkyl, alkylthio, thioalkyl, alkoxycarbonylamino, aralkyloxycarbonylamino, aminocarbonylamino, alkylaminocarbonylamino, alkylamidino, alkylguanidino, dialkylguanidino, hydrazino, hydroxylamino, carboxylic acid and its derivatives, sulfonic acids and its derivatives, phosphoric acid and its derivatives; or the adjacent groups like R_1 and R_2 or R_2 and R_3 or R_3 and R_4 or R_5 and R_6 or R_6 and R_7 or R_7 and R_8 or R_8 and R_9 together with carbon atoms to which they are attached may form a five or a six membered ring, optionally containing one or more double bonds and optionally containing one or more heteroatoms selected from O, N, S and combinations of double bond and heteroatoms; or R_{11} and R_{12} together with carbon atoms to which they are attached may form a three to a six membered ring, optionally containing one or more double bonds and optionally containing one or more heteroatoms selected from O, N, S and combinations of double bond and heteroatoms.

R_{13} and R_{14} represents hydrogen, alkyl, aryl, aralkyl or together with nitrogen atom form a cyclic three to seven membered ring, optionally, R_{13} and R_{14} together may form a part of cyclic structure along with the intervening nitrogen; the heterocycle may have either one, two or three double bonds; optionally it may also contain one to three

heteroatom selected from the group of oxygen, nitrogen and sulfur, and includes ring fused with any carbocyclic or heterocyclic ring, which can be saturated or unsaturated; optionally, R_{11} and R_{13} together may form a part of cyclic structure along with the intervening nitrogen and carbon atoms; the heterocycle may have either one, two or three double bonds; optionally it may also contain one to three heteroatom selected from the group of oxygen, nitrogen and sulfur, and includes ring fused with any carbocyclic or heterocyclic ring, which can be saturated or unsaturated.

"n" is an integer ranging from 1 to 8, preferably 1 to 4, wherein the carbon chains which "n" represents may be either linear or branched.

"m" is an integer ranging from 0 to 2 preferably m is 1 or 2; along with the proviso that whenever m = 2 and each of R_5, R_6, R_7, R_8 and R_9 are hydrogens then all of R_1, R_2, R_3, R_4 and R_{10}, together are never hydrogens.

Partial list of such compounds of general formula (I) is as follows:

[2-(1-(Benzenesulfonyl)-1H-indol-3-yloxy)ethyl]dimethylamine;

2-(1-(4'-Isopropylbenzenesulfonyl)-1H-indol-3-yloxy)ethyl]dimethylamine;

[2-(1-(2'-Bromo-4'-methoxybenzenesulfonyl)-1H-indol-3-yloxy)ethyl] dimethylamine;

[2-(1-(2',4'-Dimethoxybenzenesulfonyl)-1H-indol-3-yloxy)ethyl]dimethylamine ;

[2-(1-(4'-Bromobenzenesulfonyl)-1H-indol-3-yloxy)ethyl]dimethylamine ;

[2-(1-(2'-Bromo-4'-Methylbenzenesulfonyl)-1H-indol-3-yloxy)ethyl] dimethylamine

[2-(1-(2'-Bromobenzenesulfonyl)-1H-indol-3-yloxy)ethyl]dimethylamine ;

[2-(1-(4'-Fluorobenzenesulfonyl)-1H-indol-3-yloxy)ethyl]dimethylamine ;

[2-(1-(4'-Chlorobenzenesulfonyl)-1H-indol-3-yloxy)ethyl]dimethylamine ;

[2-(1-(4'-Methylbenzenesulfonyl)-1H-indol-3-yloxy)ethyl]dimethylamine ;

[2-(1-(Benzenesulfonyl)- 2-phenyl-1H-indol-3-yloxy)ethyl]dimethylamine ;

[2-(1-(4'-Isopropylbenzenesulfonyl)- 2-phenyl-1H-indol-3-yloxy)ethyl] dimethylamine ;

[2-(1-(2'-Bromo-4'-methoxybenzenesulfonyl)- 2-phenyl-1H-indol-3-yloxy)ethyl] dimethylamine ;

[2-(1-(2',4'-Dimethoxybenzenesulfonyl)-2-phenyl-1H-indol-3-yloxy)ethyl] dimethylamine;

[2-(1-(4'-Bromobenzenesulfonyl)- 2-phenyl-1H-indol-3-yloxy)ethyl]dimethylamine

[2-(1-(2'-Bromo-4'-Methylbenzenesulfonyl)-2-phenyl-1H-indol-3-yloxy)ethyl] dimethylamine;

[2-(1-(2'-Bromobenzenesulfonyl)-2-phenyl-1H-indol-3-yloxy)ethyl]dimethylamine

[2-(1-(4'-Fluorobenzenesulfonyl)-2-phenyl-1H-indol-3-yloxy)ethyl]dimethylamine

[2-(1-(4'-Chlorobenzenesulfonyl)-2-phenyl-1H-indol-3-yloxy)ethyl]dimethylamine

[2-(1-(4'-Methylbenzenesulfonyl)-2-phenyl-1H-indol-3-yloxy)ethyl]dimethylamine

[2-(1-(Benzenesulfonyl)- 2-methyl-1H-indol-3-yloxy)ethyl]dimethylamine ;

The phrase "pharmaceutically acceptable" indicates that the substance or composition must be compatible chemically and/or toxicologically, with the other ingredients comprising a formulation, and/or the mammal being treated therewith.

The terms "treating", "treat", or "treatment" embrace all the meanings such as preventative, prophylactic and palliative.

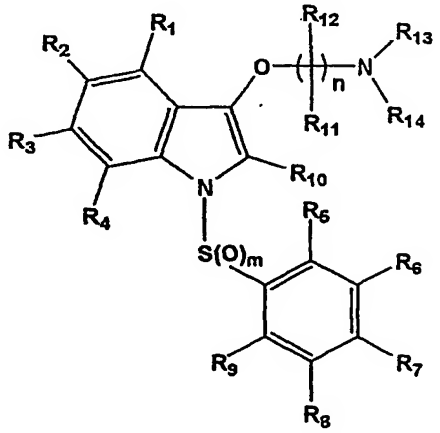
The term "compounds of the present invention" (unless specifically identified otherwise) refer to compounds of Formulae (I), nitrogen oxides thereof, prodrugs of the compounds or nitrogen oxides, pharmaceutically acceptable salts of the compounds, nitrogen oxides, and/or prodrugs, and hydrates or solvates of the compounds, nitrogen oxides, salts, is and/or prodrugs, as well as, all stereoisomers (including diastereoisomers and enantiomers), tautomers and isotopically labeled compounds.

The present invention also relates to the novel intermediates, represented by general formulae (II), (IV), (VI), (VII), (IX) and (X), their stereoisomers, their radioisotopes, their geometric forms, their N-oxide, their salts, their solvates and any suitable combination of above, involved in preparing the compounds of general formula (I) and the process of preparation of such intermediates.

Detailed Description of the Invention:

The present invention relates to compounds of general formula (I), their stereoisomers, their radioisotopes, their geometric forms, their N-oxides, their polymorphs, their pharmaceutically acceptable salts, their pharmaceutically acceptable solvates, their useful bioactive metabolites and any suitable combination of above.

The present invention relates to compounds of general formula (I), described as follows,



General Formula (I)

wherein R_1, R_2, R_3, R_4, R_5, R_6, R_7, R_8, R_9, R_{10}, R_{11} and R_{12} may be same or different, and represent hydrogen, halogen, perhaloalkyl, hydroxy, thio, amino, nitro, cyano, formyl, amidino, guanidino, substituted or unsubstituted groups selected from linear or

branched (C_1-C_{12})alkyl, (C_2-C_{12})alkenyl, (C_2-C_{12})alkynyl, (C_3-C_7)cycloalkyl, (C_3-C_7)cycloalkenyl, bicycloalkyl, bicycloalkenyl, (C_1-C_{12})alkoxy, cyclo(C_3-C_7)alkoxy, aryl, aryloxy, aralkyl, aralkoxy, heterocyclyl, heteroaryl, heterocyclylalkyl, heteroaralkyl, heteroaryloxy, heteroaralkoxy, heterocyclylalkyloxy, acyl, acyloxy, acylamino, monoalkylamino, dialkylamino, arylamino, diarylamino, aralkylamino, alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, heterocyclylalkoxycarbonyl, heteroaryloxycarbonyl, hydroxyalkyl, aminoalkyl, monoalkylaminoalkyl, dialkylaminoalkyl, alkoxyalkyl arylalkyl, aralkoxyalkyl, alkylthio, thioalkyl, alkoxycarbonylamino, aralkyloxycarbonylamino, aminocarbonylamino, alkylaminocarbonylamino, alkylamidino, alkylguanidino, dialkylguanidino, hydrazino, hydroxylamino, carboxylic acid and its derivatives, sulfonic acids and its derivatives, phosphoric acid and its derivatives; or the adjacent groups like R_1 and R_2 or R_2 and R_3 or R_3 and R_4 or R_5 and R_6 or R_6 and R_7 or R_7 and R_8 or R_8 and R_9 together with carbon atoms to which they are attached may form a five or a six membered ring, optionally containing one or more double bonds and optionally containing one or more heteroatoms selected from O, N, S and combinations of double bond and heteroatoms; or R_{11} and R_{12} together with carbon atoms to which they are attached may form a three to a six membered ring, optionally containing one or more double bonds and optionally containing one or more heteroatoms selected from O, N, S and combinations of double bond and heteroatoms.

R_{13} and R_{14} represents hydrogen, alkyl, aryl, aralkyl or together with nitrogen atom form a cyclic three to seven membered ring, optionally, R_{13} and R_{14} together may form a part of cyclic structure along with the intervening nitrogen; the heterocycle may have either one, two or three double bonds; optionally it may also contain one to three heteroatom selected from the group of oxygen, nitrogen and sulfur, and includes ring fused with any carbocyclic or heterocyclic ring, which can be saturated or unsaturated; optionally, R_{11} and R_{13} together may form a part of cyclic structure along with the intervening nitrogen and carbon atoms; the heterocycle may have either one, two or three double bonds; optionally it may also contain one to three heteroatom selected from the group of oxygen, nitrogen and sulfur, and includes ring fused with any carbocyclic or heterocyclic ring, which can be saturated or unsaturated.

"n" is an integer ranging from 1 to 8, preferably 1 to 4, wherein the carbon chains which "n" represents may be either linear or branched.

"m" is an integer ranging from 0 to 2 preferably m is 1 or 2; along with the proviso that whenever m = 2 and each of R_5, R_6, R_7, R_8 and R_9 are hydrogens then all of R_1, R_2, R_3, R_4 and R_{10}, together are never hydrogens.

Suitable cyclic structures formed by the two adjacent groups like R_1 and R_2 or R_2 and R_3 or R_3 and R_4 or R_5 and R_6 or R_6 and R_7 or R_7 and R_8 or R_8 and R_9 or R_{11} and R_{12} together with the carbon atoms to which they are attached contain 5 to 6 ring atoms which may optionally contain one or more heteroatoms selected from oxygen, nitrogen or sulfur and optionally contain one or more double bonds and optionally contain combination of double bond and hetero atoms as described earlier. The cyclic structures may be optionally substiuted phenyl, naphthyl, pyridyl, furanyl, thienyl, pyrrolyl, imidazolyl, pyrimidinyl, pyrazinyl and the like. Suitable substituents on the cyclic structure formed by R_1 and R_2 or R_2 and R_3 or R_3 and R_4 or R_5 and R_6 or R_6 and R_7 or R_7 and R_8 or R_8 and R_9 or R_{11} and R_{12} together with the adjacent carbon atoms to which they are attached include oxo, hydroxy, halogen atom such as chlorine, bromine and iodine; nitro, cyano, amino, formyl, $(C_1$-$C_3)$alkyl, $(C_1$-$C_3)$alkoxy, thioalkyl, alkylthio phenyl or benzyl groups.

R_{13} and R_{14} preferably represents hydrogen, substituted or unsubstituted linear or branched $(C_1$-$C_{12})$alkyl like methyl, ethyl, n-propyl, iso-propyl, n-butyl, iso-butyl, pentyl, hexyl, octyl and the like; aryl group such as phenyl or naphthyl, the aryl group may be substituted; cyclo$(C_3$-$C_7)$alkyl group such as cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, the cycloalkyl group may be substituted; the aralkyl group may be substituted and the substituted aralkyl is a group such as $CH_3C_6H_4CH_2$, Hal-$C_6H_4CH_2$, $CH_3OC_6H_4CH_2$, $CH_3OC_6H_4CH_2CH_2$ and the like; $(C_3$-$C_7)$cycloheteroalkyl with heteratoms like "Oxygen", "Nitrogen" and "Sulfur" and optionally containing one or two double or triple bonds.

Suitable hetero cyclic rings formed by either R_{11} and R_{13} or R_{13} and R_{14} be selected from pyrrolyl, imidazolyl, pyrimidinyl, pyrazinyl, pyrrolidinyl, piperidinyl, morpholinyl, piperazinyl, oxazolinyl, diazolinyl and the like, the heterocyclyl group may be substituted; heteroaryl group such as pyridyl, pyrrolyl, oxazolyl, imidazolyl, oxadiazolyl, tetrazolyl, benzopyranyl and the like, the heteroaryl group may be substituted; heterocyclo$(C_1$-$C_6)$alkyl, such as pyrrolidinealkyl, piperidinealkyl, morpholinealkyl, thiomorpholinealkyl, oxazolinealkyl and the like, the heterocyclo$(C_1$-$C_6)$alkyl group may be substituted; heteroaralkyl group such as furanmethyl, pyridinemethyl, oxazolemethyl, oxazolethyl and the like, the heteroaralkyl group may be substituted; heteroaryloxy, heteroaralkoxy, heterocycloalkoxy, wherein heteroaryl, heteroaralkyl, heterocycloalkyl and heterocyclylalkyl moieties are as defined earlier and may be further substituted.

Compounds of the present invention may be synthesized by synthetic routes that include processes analogous to those known in the chemical arts, particularly in light of the description contained herein. The starting materials are generally available

from commercial sources such as Aldrich Chemicals (Milwaukee, WI) or are readily prepared using methods well known to those skilled in the art (e.g., prepared by methods generally described in Louis F. Fieser and Mary Fieser, Reagents for Organic Synthesis, v. 1-1 9, Wiley, New York (1. 967-1999 ed.), or Beilsteins Handbuch der organischen Chemie, 4, Aufl. ed. Springer-Verlag, Berlin, including supplements (also available via the Beilstein online database).

For illustrative purposes, the reaction schemes depicted below provide potential routes for synthesizing the compounds of the present invention as well as key intermediates. For a more detailed description of the individual reaction steps, see the Examples section. Those skilled in the art will appreciate that other synthetic routes may be used to synthesize the inventive compounds. Although specific starting materials and reagents are depicted in the schemes and discussed below, other starting materials and reagents can be easily substituted to provide a variety of derivatives and/or reaction conditions. In addition, many of the compounds prepared by the methods described below can be further modified in light of this disclosure using conventional chemistry well known to those skilled in the art.

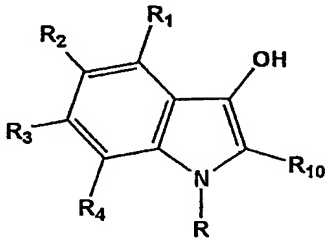
For example, a sulfide linkage (i.e.,m = 0) can be easily oxidized to its corresponding sulfinyl or sulfonyl group (i.e., m = 1 or m = 2) using common oxidation procedures (e.g., oxidation with m-chloroperbenzoic acid). Suitable values for Lg are for example, a halogeno, for example a chloro, bromo, iodo, or aryl or alkyl sulfonyloxy group, for example, a methanesulfonyloxy or toluene-4-sulfonyloxy group or trifluoroacetate.

In the preparation of compounds of the present invention, protection of remote functionality (e.g., primary or secondary amine) of intermediates may be necessary. The need for such protection will vary depending on the nature of the remote functionality and the conditions of the preparation methods. Suitable amino-protecting groups (NH-Pg) include acetyl, trifluoroacetyl, t-butoxycarbonyl (BOC), benzyloxycarbonyl (CBz) and Fluorenylmethyleneoxycarbonyl (Fmoc). The need for such protection is readily determined by one skilled in the art. For a general description of protecting groups and their use, see T. W. Greene, Protective Groups in Organic Synthesis, John Wiley & Sons, New York, 1991. The protecting groups may be removed at a convenient subsequent stage using methods known from the art.

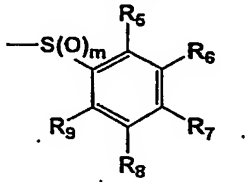
The present invention also provides processes for preparing compounds of general formula (I) as defined above their stereoisomers, their polymorphs, their pharmaceutically acceptable salts, their pharmaceutically acceptable solvates and novel intermediates involved therein, which are as described below. There are few methods already reported in the literature including GB patent specification 1 306 230,

methanol or ethanol in the presence of hydrogen and a suitable catalyst such as palladium on carbon.

Novel intermediates of general formula **(II)** are represented as given below,



R = N-protecting group or



(II)

wherein R_1, R_2, R_3, R_4, R_5, R_6, R_7, R_8, R_9 and R_{10} may be same or different, and represent hydrogen, halogen, perhaloalkyl, hydroxy, thio, amino, nitro, cyano, formyl, amidino, guanidino, substituted or unsubstituted groups selected from linear or branched $(C_1$-$C_{12})$alkyl, $(C_2$-$C_{12})$alkenyl, $(C_2$-$C_{12})$alkynyl, $(C_3$-$C_7)$cycloalkyl, $(C_3$-$C_7)$cycloalkenyl, bicycloalkyl, bicycloalkenyl, $(C_1$-$C_{12})$alkoxy, cyclo$(C_3$-$C_7)$alkoxy, aryl, aryloxy, aralkyl, aralkoxy, heterocyclyl, heteroaryl, heterocyclylalkyl, heteroaralkyl, heteroaryloxy, heteroaralkoxy, heterocyclylalkyloxy, acyl, acyloxy, acylamino, monoalkylamino, dialkylamino, arylamino, diarylamino, aralkylamino, alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, heterocyclylalkoxycarbonyl, heteroaryloxycarbonyl, hydroxyalkyl, aminoalkyl, monoalkylaminoalkyl, dialkylaminoalkyl, alkoxyalkyl arylalkyl, aralkoxyalkyl, alkylthio, thioalkyl, alkoxycarbonylamino, aralkyloxycarbonylamino, aminocarbonylamino, alkylaminocarbonylamino, alkylamidino, alkylguanidino, dialkylguanidino, hydrazino, hydroxylamino, carboxylic acid and its derivatives, sulfonic acids and its derivatives, phosphoric acid and its derivatives; or the adjacent groups like R_1 and R_2 or R_2 and R_3 or R_3 and R_4 or R_5 and R_6 or R_6 and R_7 or R_7 and R_8 or R_8 and R_9 together with carbon atoms to which they are attached may form a five or a six membered ring, optionally containing one or more double bonds and optionally containing one or more heteroatoms selected from O, N, S and combinations of double bond and heteroatoms; "m" is an integer ranging from 0 to 2 preferably m is 1 or 2; and R is suitable protecting group such as acetyl, trifluoroacetyl, t-butoxycarbonyl (BOC); benzyloxycarbonyl (CBz) and Fluoromethyleneoxycarbonyl (Fmoc) or a group defined as above; and its stereoisomers and its salts; along with the proviso that

i) when n = 2, R_{13} is either methyl or ethyl, R is SO_2Ph, then all of R_1, R_2, R_3, R_4 and R_{10} are never hydrogen,

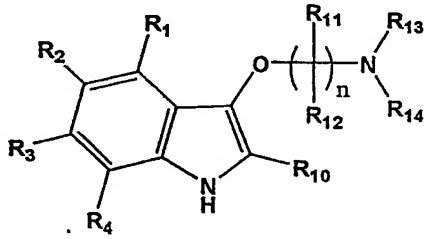
ii) when n = 2, R_{13} is either methyl or ethyl, R is -$COCH_3$ and R_{10} is either of –H, Ph, -CH_2Ph, then R_2 is never chloro, bromo, methyl, methoxy, lower alkyl,

iii) when n = 2, R_{13} is either methyl or ethyl, R is -$COCH_3$, R_{10} is –H, and R_2 is bromo then R_4 is other than bromo,

iv) when n = 3, R_{13} is methyl and R is -$COCH_3$, then R_1, R_2, R_3, R_4, R_5, R_6, R_7, R_8, R_9 and R_{10} all are not hydrogens.

Numerous processes to prepare the compounds of formula (II) can be found in literature. Some of them are J. Heterocyclic Chemistry, 16, 221 (1979), JP patent publication 57200362 A, US patent No. 3,860,608 and DE 111890. Alternatively, compounds of formula (II) may suitably be prepared by conventional methods for oxidization of indole-3-carboxaldehydes as described in literature (Chem. Pharm. Bull, 1985, 33, 1843, wherein HMPA, mCPBA are used as oxidizing agent).

Novel intermediates of general formula (IV) are represented as given below,



(IV)

wherein R_1, R_2, R_3, R_4, R_{11} and R_{12} may be same or different, and represent hydrogen, halogen, perhaloalkyl, hydroxy, thio, amino, nitro, cyano, formyl, amidino, guanidino, substituted or unsubstituted groups selected from linear or branched (C_1-C_{12})alkyl, (C_2-C_{12})alkenyl, (C_2-C_{12})alkynyl, (C_3-C_7)cycloalkyl, (C_3-C_7)cycloalkenyl, bicycloalkyl, bicycloalkenyl, (C_1-C_{12})alkoxy, cyclo(C_3-C_7)alkoxy, aryl, aryloxy, aralkyl, aralkoxy, heterocyclyl, heteroaryl, heterocyclylalkyl, heteroaralkyl, heteroaryloxy, heteroaralkoxy, heterocyclylalkyloxy, acyl, acyloxy, acylamino, monoalkylamino, dialkylamino, arylamino, diarylamino, aralkylamino, alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, heterocyclylalkoxycarbonyl, heteroaryloxycarbonyl, hydroxyalkyl, aminoalkyl, monoalkylaminoalkyl, dialkylaminoalkyl, alkoxyalkyl arylalkyl, aralkoxyalkyl, alkylthio, thioalkyl, alkoxycarbonylamino, aralkyloxycarbonylamino, aminocarbonylamino, alkylaminocarbonylamino, alkylamidino, alkylguanidino, dialkylguanidino, hydrazino, hydroxylamino, carboxylic acid and its derivatives, sulfonic acids and its derivatives, phosphoric acid and its derivatives; or the adjacent groups like R_1 and R_2 or R_2 and R_3 or R_3 and R_4 together with carbon atoms to which they are attached may form a five or a six membered ring, optionally containing one or more double bonds and optionally containing one or more heteroatoms selected from O, N, S and combinations of double bond and heteroatoms; or R_{11} and R_{12} together with carbon atoms to which they are attached may form a three to a six membered ring,

optionally containing one or more double bonds and optionally containing one or more heteroatoms selected from O, N, S and combinations of double bond and heteroatoms;

R_{10} represents hydrogen, formyl, substituted or unsubstituted groups selected from linear or branched (C_1-C_{12})alkyl, (C_2-C_{12})alkenyl, (C_2-C_{12})alkynyl, (C_3-C_7)cycloalkyl, (C_3-C_7)cycloalkenyl, bicycloalkyl, bicycloalkenyl, (C_1-C_{12})alkoxy, cyclo(C_3-C_7)alkoxy, aryl, aryloxy, aralkyl, aralkoxy, heterocyclyl, heteroaryl, heterocyclylalkyl, heteroaralkyl, heteroaryloxy, heteroaralkoxy, heterocyclylalkyloxy, acyl, acyloxy, acylamino, monoalkylamino, dialkylamino, arylamino, diarylamino, aralkylamino, hydroxyalkyl, aminoalkyl, monoalkylaminoalkyl, dialkylaminoalkyl, alkoxyalkyl arylalkyl, aralkoxyalkyl, alkylthio, thioalkyl, alkoxycarbonylamino, aralkyloxycarbonylamino, aminocarbonylamino, alkylaminocarbonylamino, alkylamidino, alkylguanidino, dialkylguanidino, hydrazino, hydroxylamino, sulfonic acids and its derivatives, phosphoric acid and its derivatives.

R_{13} and R_{14} represents hydrogen, alkyl, aryl, aralkyl or together with nitrogen atom form a cyclic three to seven membered ring, optionally, R_{13} and R_{14} together may form a part of cyclic structure along with the intervening nitrogen; the heterocycle may have either one, two or three double bonds; optionally it may also contain one to three heteroatom selected from the group of oxygen, nitrogen and sulfur, and includes ring fused with any carbocyclic or heterocyclic ring, which can be saturated or unsaturated; optionally, R_{11} and R_{13} together may form a part of cyclic structure along with the intervening nitrogen and carbon atoms; the heterocycle may have either one, two or three double bonds; optionally it may also contain one to three heteroatom selected from the group of oxygen, nitrogen and sulfur, and includes ring fused with any carbocyclic or heterocyclic ring, which can be saturated or unsaturated; and "n" is an integer ranging from 1 to 8, preferably 1 to 4, wherein the carbon chains which "n" represents may be either linear or branched; and its stereoisomers and its salts; with the proviso that,

i. whenever n =2, all of R_1, R_2, R_3, R_4 and R_{10} are together hydrogens then R_{13} and R_{14} are methyl or ethyl; then either of R_{11} or R_{12} is other than hydrogen, and its salts;

ii. whenever n =2, all of R_1, R_3, R_4 and R_{10} are together hydrogens, R_2 is methoxy, and R_{13} and R_{14} are methyl or ethyl; then either of R_{11} or R_{12} is other than hydrogen, and its salts;

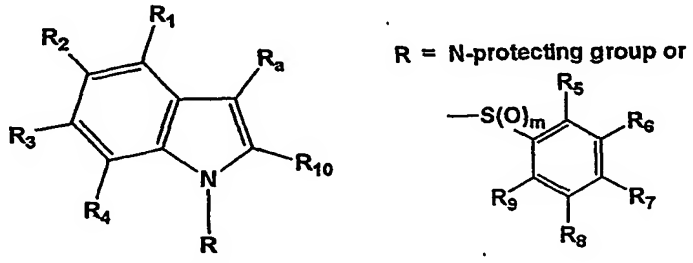
iii. whenever n =2, all of R_1, R_3, R_4 and R_{10} are together hydrogens, R_2 is chloro, and R_{13} and R_{14} are methyl or ethyl; then either of R_{11} or R_{12} is other than hydrogen, and its salts;

iv. whenever n =2, all of R_1, R_3, R_4 and R_2 are together hydrogens, R_{10} is phenyl, and R_{13} and R_{14} are methyl or ethyl; then either of R_{11} or R_{12} is other than hydrogen, and its salts;

v. whenever n =3, all of R_1, R_2, R_3, R_4 and R_{10} are together hydrogens then R_{13} and R_{14} are methyl or ethyl; then either of R_{11} or R_{12} is other than hydrogen.

Numerous processes to prepare the compounds of formula (IV) can be found in literature. Some of them include US patent 3,509,163 and GB patent application 1 306 230.

Novel intermediates of general formula (VI) are represented as given below,



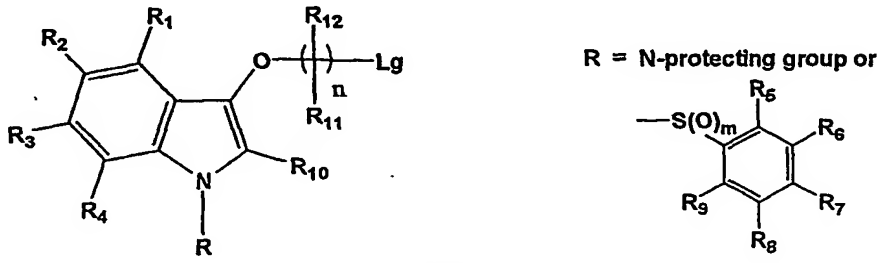
(VI)

wherein R_1, R_2, R_3, R_4, R_5, R_6, R_7, R_8, R_9 and R_{10} may be same or different, and represent hydrogen, halogen, perhaloalkyl, hydroxy, thio, amino, nitro, cyano, formyl, amidino, guanidino, substituted or unsubstituted groups selected from linear or branched $(C_1\text{-}C_{12})$alkyl, $(C_2\text{-}C_{12})$alkenyl, $(C_2\text{-}C_{12})$alkynyl, $(C_3\text{-}C_7)$cycloalkyl, $(C_3\text{-}C_7)$cycloalkenyl, bicycloalkyl, bicycloalkenyl, $(C_1\text{-}C_{12})$alkoxy, cyclo$(C_3\text{-}C_7)$alkoxy, aryl, aryloxy, aralkyl, aralkoxy, heterocyclyl, heteroaryl, heterocyclylalkyl, heteroaralkyl, heteroaryloxy, heteroaralkoxy, heterocyclylalkyloxy, acyl, acyloxy, acylamino, monoalkylamino, dialkylamino, arylamino, diarylamino, aralkylamino, alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, heterocyclylalkoxycarbonyl, heteroaryloxycarbonyl, hydroxyalkyl, aminoalkyl, monoalkylaminoalkyl, dialkylaminoalkyl, alkoxyalkyl arylalkyl, aralkoxyalkyl, alkylthio, thioalkyl, alkoxycarbonylamino, aralkyloxycarbonylamino, aminocarbonylamino, alkylaminocarbonylamino, alkylamidino, alkylguanidino, dialkylguanidino, hydrazino, hydroxylamino, carboxylic acid and its derivatives, sulfonic acids and its derivatives, phosphoric acid and its derivatives; or the adjacent groups like R_1 and R_2 or R_2 and R_3 or R_3 and R_4 or R_5 and R_6 or R_6 and R_7 or R_7 and R_8 or R_8 and R_9 together with carbon atoms to which they are attached may form a five or a six membered ring, optionally containing one or more double bonds and optionally containing one or more heteroatoms selected from O, N, S and combinations of double bond and heteroatoms; R is suitable protecting group such as acetyl, trifluoroacetyl, t-butoxycarbonyl (BOC), benzyloxycarbonyl (CBz) and Fluoromethyleneoxycarbonyl (Fmoc) or a group defined as above; and "m" is an

integer ranging from 0 to 2 preferably m is 1 or 2; R_a is defined as either hydrogen, halogen (such as chloro or bromo), lithio, trimethylsilyl, lower alkoxy, boronic acid or trifluoromethanesulfonate groups; and its stereoisomers and its salts; along with the proviso that whenever R is SO_2Ph, and all of R_1, R_2, R_3, R_4, R_5, R_6, R_7, R_8, R_9 and R_{10} substituents are hydrogen's Ra never either of bromo, lithio, trimethylsilyl, boronic acid or trifluoromethanesulfonate groups.

Procedure to prepare compounds of formula (VI) is as reported in Heterocycles, vol. 30, no. 1, 1990.

Novel intermediates of general formula **(VII)** are represented as given below,

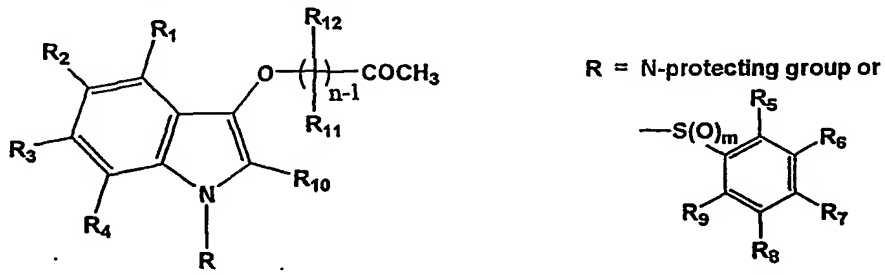


(VII)

wherein R_1, R_2, R_3, R_4, R_5, R_6, R_7, R_8, R_9, R_{10}, R_{11} and R_{12} may be same or different, and represent hydrogen, halogen, perhaloalkyl, hydroxy, thio, amino, nitro, cyano, formyl, amidino, guanidino, substituted or unsubstituted groups selected from linear or branched (C_1-C_{12})alkyl, (C_2-C_{12})alkenyl, (C_2-C_{12})alkynyl, (C_3-C_7)cycloalkyl, (C_3-C_7)cycloalkenyl, bicycloalkyl, bicycloalkenyl, (C_1-C_{12})alkoxy, cyclo(C_3-C_7)alkoxy, aryl, aryloxy, aralkyl, aralkoxy, heterocyclyl, heteroaryl, heterocyclylalkyl, heteroaralkyl, heteroaryloxy, heteroaralkoxy, heterocyclylalkyloxy, acyl, acyloxy, acylamino, monoalkylamino, dialkylamino, arylamino, diarylamino, aralkylamino, alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, heterocyclylalkoxycarbonyl, heteroaryloxycarbonyl, hydroxyalkyl, aminoalkyl, monoalkylaminoalkyl, dialkylaminoalkyl, alkoxyalkyl arylalkyl, aralkoxyalkyl, alkylthio, thioalkyl, alkoxycarbonylamino, aralkyloxycarbonylamino, aminocarbonylamino, alkylaminocarbonylamino, alkylamidino, alkylguanidino, dialkylguanidino, hydrazino, hydroxylamino, carboxylic acid and its derivatives, sulfonic acids and its derivatives, phosphoric acid and its derivatives; or the adjacent groups like R_1 and R_2 or R_2 and R_3 or R_3 and R_4 or R_5 and R_6 or R_6 and R_7 or R_7 and R_8 or R_8 and R_9 together with carbon atoms to which they are attached may form a five or a six membered ring, optionally containing one or more double bonds and optionally containing one or more heteroatoms selected from O, N, S and combinations of double bond and heteroatoms; or R_{11} and R_{12} together with carbon atoms to which they are attached may form a three to a six membered ring, optionally containing one or more double bonds and optionally containing one or more heteroatoms selected

from O, N, S and combinations of double bond and heteroatoms; "n" is an integer ranging from 1 to 8, preferably 1 to 4, wherein the carbon chains which "n" represents may be either linear or branched; and "m" is an integer ranging from 0 to 2 preferably m is 1 or 2; and Lg is a leaving group as defined earlier and its stereoisomers and its salts.

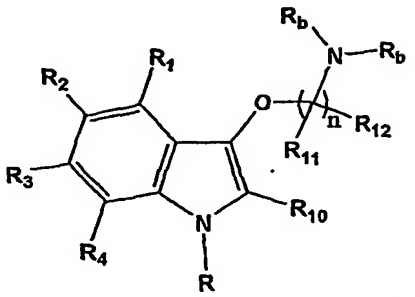
Novel intermediates of general formula (IX) are represented as given below,



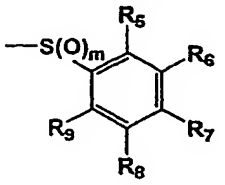
(IX)

wherein R_1, R_2, R_3, R_4, R_5, R_6, R_7, R_8, R_9, R_{10}, R_{11} and R_{12} may be same or different, and represent hydrogen, halogen, perhaloalkyl, hydroxy, thio, amino, nitro, cyano, formyl, amidino, guanidino, substituted or unsubstituted groups selected from linear or branched $(C_1$-$C_{12})$alkyl, $(C_2$-$C_{12})$alkenyl, $(C_2$-$C_{12})$alkynyl, $(C_3$-$C_7)$cycloalkyl, $(C_3$-$C_7)$cycloalkenyl, bicycloalkyl, bicycloalkenyl, $(C_1$-$C_{12})$alkoxy, cyclo$(C_3$-$C_7)$alkoxy, aryl, aryloxy, aralkyl, aralkoxy, heterocyclyl, heteroaryl, heterocyclylalkyl, heteroaralkyl, heteroaryloxy, heteroaralkoxy, heterocyclylalkyloxy, acyl, acyloxy, acylamino, monoalkylamino, dialkylamino, arylamino, diarylamino, aralkylamino, alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, heterocyclylalkoxycarbonyl, heteroaryloxycarbonyl, hydroxyalkyl, aminoalkyl, monoalkylaminoalkyl, dialkylaminoalkyl, alkoxyalkyl arylalkyl, aralkoxyalkyl, alkylthio, thioalkyl, alkoxycarbonylamino, aralkyloxycarbonylamino, aminocarbonylamino, alkylaminocarbonylamino, alkylamidino, alkylguanidino, dialkylguanidino, hydrazino, hydroxylamino, carboxylic acid and its derivatives, sulfonic acids and its derivatives, phosphoric acid and its derivatives; or the adjacent groups like R_1 and R_2 or R_2 and R_3 or R_3 and R_4 or R_5 and R_6 or R_6 and R_7 or R_7 and R_8 or R_8 and R_9 together with carbon atoms to which they are attached may form a five or a six membered ring, optionally containing one or more double bonds and optionally containing one or more heteroatoms selected from O, N, S and combinations of double bond and heteroatoms; "n" is an integer ranging from 1 to 8, preferably 1 to 4, wherein the carbon chains which "n" represents may be either linear or branched; and "m" is an integer ranging from 0 to 2 preferably m is 1 or 2 and its stereoisomers and its salts.

Novel intermediates of general formula (X) are represented as given below,



R = N-protecting group or



(X)

wherein R_1, R_2, R_3, R_4, R_5, R_6, R_7, R_8, R_9, R_{10}, R_{11} and R_{12} may be same or different, and represent hydrogen, halogen, perhaloalkyl, hydroxy, thio, amino, nitro, cyano, formyl, amidino, guanidino, substituted or unsubstituted groups selected from linear or branched $(C_1\text{-}C_{12})$alkyl, $(C_2\text{-}C_{12})$alkenyl, $(C_2\text{-}C_{12})$alkynyl, $(C_3\text{-}C_7)$cycloalkyl, $(C_3\text{-}C_7)$cycloalkenyl, bicycloalkyl, bicycloalkenyl, $(C_1\text{-}C_{12})$alkoxy, cyclo$(C_3\text{-}C_7)$alkoxy, aryl, aryloxy, aralkyl, aralkoxy, heterocyclyl, heteroaryl, heterocyclylalkyl, heteroaralkyl, heteroaryloxy, heteroaralkoxy, heterocyclylalkyloxy, acyl, acyloxy, acylamino, monoalkylamino, dialkylamino, arylamino, diarylamino, aralkylamino, alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, heterocyclylalkoxycarbonyl, heteroaryloxycarbonyl, hydroxyalkyl, aminoalkyl, monoalkylaminoalkyl, dialkylaminoalkyl, alkoxyalkyl arylalkyl, aralkoxyalkyl, alkylthio, thioalkyl, alkoxycarbonylamino, aralkyloxycarbonylamino, aminocarbonylamino, alkylaminocarbonylamino, alkylamidino, alkylguanidino, dialkylguanidino, hydrazino, hydroxylamino, carboxylic acid and its derivatives, sulfonic acids and its derivatives, phosphoric acid and its derivatives; or the adjacent groups like R_1 and R_2 or R_2 and R_3 or R_3 and R_4 or R_5 and R_6 or R_6 and R_7 or R_7 and R_8 or R_8 and R_9 together with carbon atoms to which they are attached may form a five or a six membered ring, optionally containing one or more double bonds and optionally containing one or more heteroatoms selected from O, N, S and combinations of double bond and heteroatoms; "n" is an integer ranging from 1 to 8, preferably 1 to 4, wherein the carbon chains which "n" represents may be either linear or branched; and "m" is an integer ranging from 0 to 2 preferably m is 1 or 2; R_b is either benzyl or hydrogen and its stereoisomers and its salts.

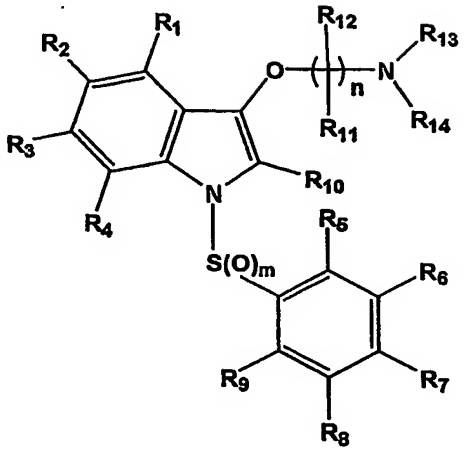
Procedure to prepare compounds of formula (X) is as reported in GB patent 1306 230. The process includes hydrogenolysis of compounds of formula (I) wherein R_{13} and/or R_{14} are benzyl groups or hydrogens according to the method known in the art.

The stereoisomers of compounds of general formula (I) may be prepared by one or more ways presented below:

i) One or more of the reagents may be used in their optically active form.

We Claim:

1. A compound of the general formula (I),



General Formula (I)

its stereoisomers, its radioisotopes, its geometric forms, its N-oxide, its polymorphs, its pharmaceutically acceptable salts, its pharmaceutically acceptable solvates, its useful bio-active metabolites, any suitable combination of the above,

wherein R_1, R_2, R_3, R_4, R_5, R_6, R_7, R_8, R_9, R_{10}, R_{11} and R_{12} may be same or different, and represent hydrogen, halogen, perhaloalkyl, hydroxy, thio, amino, nitro, cyano, formyl, amidino, guanidino, substituted or unsubstituted groups selected from linear or branched (C_1-C_{12})alkyl, (C_2-C_{12})alkenyl, (C_2-C_{12})alkynyl, (C_3-C_7)cycloalkyl, (C_3-C_7)cycloalkenyl, bicycloalkyl, bicycloalkenyl, (C_1-C_{12})alkoxy, cyclo(C_3-C_7)alkoxy, aryl, aryloxy, aralkyl, aralkoxy, heterocyclyl, heteroaryl, heterocyclylalkyl, heteroaralkyl, heteroaryloxy, heteroaralkoxy, heterocyclylalkyloxy, acyl, acyloxy, acylamino, monoalkylamino, dialkylamino, arylamino, diarylamino, aralkylamino, alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, heterocyclylalkoxycarbonyl, heteroaryloxycarbonyl, hydroxyalkyl, aminoalkyl, monoalkylaminoalkyl, dialkylaminoalkyl, alkoxyalkyl arylalkyl, aralkoxyalkyl, alkylthio, thioalkyl, alkoxycarbonylamino, aralkyloxycarbonylamino, aminocarbonylamino, alkylaminocarbonylamino, alkylamidino, alkylguanidino, dialkylguanidino, hydrazino, hydroxylamino, carboxylic acid and its derivatives, sulfonic acids and its derivatives, phosphoric acid and its derivatives; or the adjacent groups like R_1 and R_2 or R_2 and R_3 or R_3 and R_4 or R_5 and R_6 or R_6 and R_7 or R_7 and R_8 or R_8 and R_9 together with carbon atoms to which they are attached may form a five or a six membered ring, optionally containing one or more double bonds and optionally containing one or more heteroatoms selected from O, N, S and combinations of double bond and heteroatoms; or R_{11} and R_{12} together with carbon atoms to which they are attached may form a three to a six membered ring, optionally containing one or more double

bonds and optionally containing one or more heteroatoms selected from O, N, S and combinations of double bond and heteroatoms;

R_{13} and R_{14} represents hydrogen, alkyl, aryl, aralkyl or together with nitrogen atom form a cyclic three to seven membered ring, optionally, R_{13} and R_{14} together may form a part of cyclic structure along with the intervening nitrogen; the heterocycle may have either one, two or three double bonds; optionally it may also contain one to three heteroatom selected from the group of oxygen, nitrogen and sulfur, and includes ring fused with any carbocyclic or heterocyclic ring, which can be saturated or unsaturated; optionally, R_{11} and R_{13} together may form a part of cyclic structure along with the intervening nitrogen and carbon atoms; the heterocycle may have either one, two or three double bonds; optionally it may also contain one to three heteroatom selected from the group of oxygen, nitrogen and sulfur, and includes ring fused with any carbocyclic or heterocyclic ring, which can be saturated or unsaturated;

"n" is an integer ranging from 1 to 8, preferably 1 to 4, wherein the carbon chains which "n" represents may be either linear or branched; and

"m" is an integer ranging from 0 to 2 preferably m is 1 or 2.

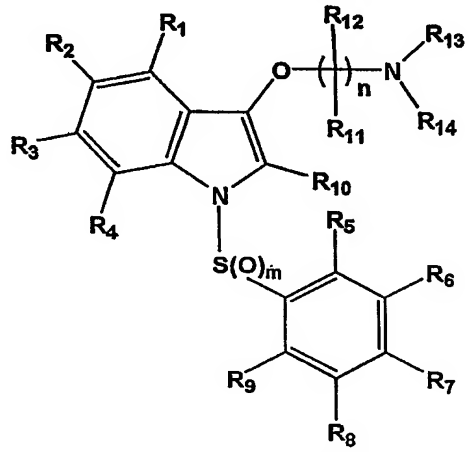
2. A compound according to Claim 1, which is selected from the following list:

[2-(1-(Benzenesulfonyl)-1H-indol-3-yloxy)ethyl]dimethylamine;
[2-(1-(4'-Isopropylbenzenesulfonyl)-1H-indol-3-yloxy)ethyl]dimethylamine;
[2-(1-(2'-Bromo-4'-methoxybenzenesulfonyl)-1H-indol-3-yloxy)ethyl] dimethylamine;
[2-(1-(2',4'-Dimethoxybenzenesulfonyl)-1H-indol-3-yloxy)ethyl]dimethylamine ;
[2-(1-(4'-Bromobenzenesulfonyl)-1H-indol-3-yloxy)ethyl]dimethylamine ;
[2-(1-(2'-Bromo-4'-Methylbenzenesulfonyl)-1H-indol-3-yloxy)ethyl] dimethylamine
[2-(1-(2'-Bromobenzenesulfonyl)-1H-indol-3-yloxy)ethyl]dimethylamine ;
[2-(1-(4'-Fluorobenzenesulfonyl)-1H-indol-3-yloxy)ethyl]dimethylamine ;
[2-(1-(4'-Chlorobenzenesulfonyl)-1H-indol-3-yloxy)ethyl]dimethylamine ;
[2-(1-(4'-Methylbenzenesulfonyl)-1H-indol-3-yloxy)ethyl]dimethylamine ;
[2-(1-(Benzenesulfonyl)- 2-phenyl-1H-indol-3-yloxy)ethyl]dimethylamine ;
[2-(1-(4'-Isopropylbenzenesulfonyl)- 2-phenyl-1H-indol-3-yloxy)ethyl] dimethylamine ;
[2-(1-(2'-Bromo-4'-methoxybenzenesulfonyl)- 2-phenyl-1H-indol-3-yloxy)ethyl] dimethylamine ;

3. A pharmaceutical composition comprising of one or more of a pharmaceutically acceptable carrier, diluent/s, excipient/s or solvates along with a therapeutically effective amount of a compound according to Claim-1, its stereoisomers, its geometric forms, its N-oxides, its polymorphs, its pharmaceutically acceptable salts, or solvates.

4. A pharmaceutical composition according to Claim 3, in the form of a tablet, capsule, powder, lozenges, suppositories, syrup, solution, suspension or injectable, administered in, as a single dose or multiple dose units.

5. A process for the preparation of a compound of general formula (I),



General Formula (I)

wherein R_1, R_2, R_3, R_4, R_5, R_6, R_7, R_8, R_9, R_{10}, R_{11} and R_{12} may be same or different, and represent hydrogen, halogen, perhaloalkyl, hydroxy, thio, amino, nitro, cyano, formyl, amidino, guanidino, substituted or unsubstituted groups selected from linear or branched $(C_1\text{-}C_{12})$alkyl, $(C_2\text{-}C_{12})$alkenyl, $(C_2\text{-}C_{12})$alkynyl, $(C_3\text{-}C_7)$cycloalkyl, $(C_3\text{-}C_7)$cycloalkenyl, bicycloalkyl, bicycloalkenyl, $(C_1\text{-}C_{12})$alkoxy, cyclo$(C_3\text{-}C_7)$alkoxy, aryl, aryloxy, aralkyl, aralkoxy, heterocyclyl, heteroaryl, heterocyclylalkyl, heteroaralkyl, heteroaryloxy, heteroaralkoxy, heterocyclylalkyloxy, acyl, acyloxy, acylamino, monoalkylamino, dialkylamino, arylamino, diarylamino, aralkylamino, alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, heterocyclylalkoxycarbonyl, heteroaryloxycarbonyl, hydroxyalkyl, aminoalkyl, monoalkylaminoalkyl, dialkylaminoalkyl, alkoxyalkyl arylalkyl, aralkoxyalkyl, alkylthio, thioalkyl, alkoxycarbonylamino, aralkyloxycarbonylamino, aminocarbonylamino, alkylaminocarbonylamino, alkylamidino, alkylguanidino, dialkylguanidino, hydrazino, hydroxylamino, carboxylic acid and its derivatives, sulfonic acids and its derivatives, phosphoric

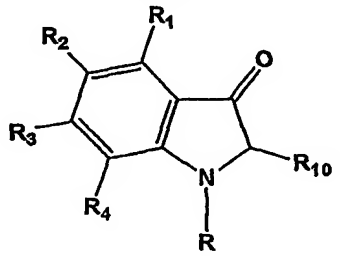
acid and its derivatives; or the adjacent groups like R_1 and R_2 or R_2 and R_3 or R_3 and R_4 or R_5 and R_6 or R_6 and R_7 or R_7 and R_8 or R_8 and R_9 together with carbon atoms to which they are attached may form a five or a six membered ring, optionally containing one or more double bonds and optionally containing one or more heteroatoms selected from O, N, S and combinations of double bond and heteroatoms; or R_{11} and R_{12} together with carbon atoms to which they are attached may form a three to a six membered ring, optionally containing one or more double bonds and optionally containing one or more heteroatoms selected from O, N, S and combinations of double bond and heteroatoms;

R_{13} and R_{14} represents hydrogen, alkyl, aryl, aralkyl or together with nitrogen atom form a cyclic three to seven membered ring, optionally, R_{13} and R_{14} together may form a part of cyclic structure along with the intervening nitrogen; the heterocycle may have either one, two or three double bonds; optionally it may also contain one to three heteroatom selected from the group of oxygen, nitrogen and sulfur, and includes ring fused with any carbocyclic or heterocyclic ring, which can be saturated or unsaturated; optionally, R_{11} and R_{13} together may form a part of cyclic structure along with the intervening nitrogen and carbon atoms; the heterocycle may have either one, two or three double bonds; optionally it may also contain one to three heteroatom selected from the group of oxygen, nitrogen and sulfur, and includes ring fused with any carbocyclic or heterocyclic ring, which can be saturated or unsaturated;

"n" is an integer ranging from 1 to 8, preferably 1 to 4, wherein the carbon chains which "n" represents may be either linear or branched; and

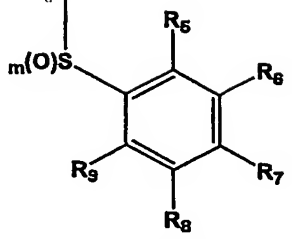
"m" is an integer ranging from 0 to 2 preferably m is 1 or 2; which comprises of any one of the following routes,

Route i): reacting a compound of formula (II) given below,

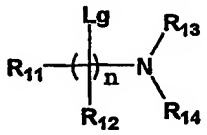


(II)

wherein all the symbols are as defined above; R represents either of a suitable N-protecting group, or a group such as,



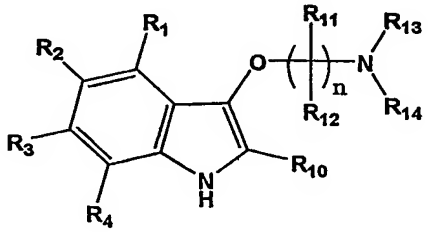
wherein all symbols are as defined above, with a compound of formula (III) or its acid addition salt,



(III)

wherein all the symbols are as defined above and Lg is a leaving group;

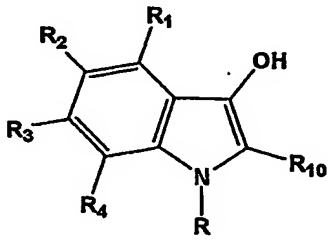
Route ii): reacting a compound of formula (IV) given below,



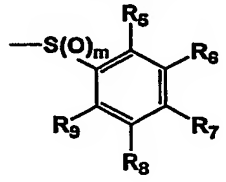
(IV)

wherein all symbols except R_{10} are as defined above; R_{10} herein represents hydrogen, formyl, substituted or unsubstituted groups selected from linear or branched (C_1-C_{12})alkyl, (C_2-C_{12})alkenyl, (C_2-C_{12})alkynyl, (C_3-C_7)cycloalkyl, (C_3-C_7)cycloalkenyl, bicycloalkyl, bicycloalkenyl, (C_1-C_{12})alkoxy, cyclo(C_3-C_7)alkoxy, aryl, aryloxy, aralkyl, aralkoxy, heterocyclyl, heteroaryl, heterocyclylalkyl, heteroaralkyl, heteroaryloxy, heteroaralkoxy, heterocyclylalkyloxy, acyl, acyloxy, acylamino, monoalkylamino, dialkylamino, arylamino, diarylamino, aralkylamino, hydroxyalkyl, aminoalkyl, monoalkylaminoalkyl, dialkylaminoalkyl, alkoxyalkyl arylalkyl, aralkoxyalkyl, alkylthio, thioalkyl, alkoxycarbonylamino, aralkyloxycarbonylamino, aminocarbonylamino, alkylaminocarbonylamino, alkylamidino, alkylguanidino, dialkylguanidino, hydrazino, hydroxylamino, sulfonic acids and its derivatives, phosphoric acid and its derivatives; with a compound of formula (V)

7. Novel intermediates defined by general formula (II),



R = N-protecting group or



(II)

wherein R_1, R_2, R_3, R_4, R_5, R_6, R_7, R_8, R_9 and R_{10} may be same or different, and represent hydrogen, halogen, perhaloalkyl, hydroxy, thio, amino, nitro, cyano, formyl, amidino, guanidino, substituted or unsubstituted groups selected from linear or branched (C_1-C_{12})alkyl, (C_2-C_{12})alkenyl, (C_2-C_{12})alkynyl, (C_3-C_7)cycloalkyl, (C_3-C_7)cycloalkenyl, bicycloalkyl, bicycloalkenyl, (C_1-C_{12})alkoxy, cyclo(C_3-C_7)alkoxy, aryl, aryloxy, aralkyl, aralkoxy, heterocyclyl, heteroaryl, heterocyclylalkyl, heteroaralkyl, heteroaryloxy, heteroaralkoxy, heterocyclylalkyloxy, acyl, acyloxy, acylamino, monoalkylamino, dialkylamino, arylamino, diarylamino, aralkylamino, alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, heterocyclylalkoxycarbonyl, heteroaryloxycarbonyl, hydroxyalkyl, aminoalkyl, monoalkylaminoalkyl, dialkylaminoalkyl, alkoxyalkyl arylalkyl, aralkoxyalkyl, alkylthio, thioalkyl, alkoxycarbonylamino, aralkyloxycarbonylamino, aminocarbonylamino, alkylaminocarbonylamino, alkylamidino, alkylguanidino, dialkylguanidino, hydrazino, hydroxylamino, carboxylic acid and its derivatives, sulfonic acids and its derivatives, phosphoric acid and its derivatives; or the adjacent groups like R_1 and R_2 or R_2 and R_3 or R_3 and R_4 or R_5 and R_6 or R_6 and R_7 or R_7 and R_8 or R_8 and R_9 together with carbon atoms to which they are attached may form a five or a six membered ring, optionally containing one or more double bonds and optionally containing one or more heteroatoms selected from O, N, S and combinations of double bond and heteroatoms; "m" is an integer ranging from 0 to 2 preferably m is 1 or 2; and when R is acetyl, trifluoroacetyl, t-butoxycarbonyl (BOC), benzyloxycarbonyl (CBz) and Fluoromethyleneoxycarbonyl (Fmoc); and its stereoisomers and its salts; along with the proviso that,

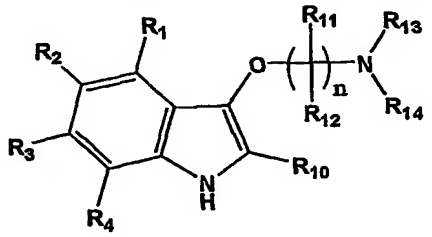
i. when n = 2, R_{13} is either methyl or ethyl, R is SO_2Ph, then all of R_1, R_2, R_3, R_4 and R_{10} are never hydrogens,

ii. when n = 2, R_{13} is either methyl or ethyl, R is -$COCH_3$ and R_{10} is either of –H, Ph, -CH_2Ph, then R_2 is never chloro, bromo, methyl, methoxy, lower alkyl,

iii. when n = 2, R_{13} is either methyl or ethyl, R is -$COCH_3$, R_{10} is –H, and R_2 is bromo then R_4 is other than bromo, and

iv. when n = 3, R_{13} is methyl and R is -$COCH_3$, then R_1, R_2, R_3, R_4, R_5, R_6, R_7, R_8, R_9 and R_{10} all are not hydrogens.

8. Novel intermediates of general formula (IV) are represented as given below,



(IV)

wherein R_1, R_2, R_3, R_4, R_{11} and R_{12} may be same or different, and represent hydrogen, halogen, perhaloalkyl, hydroxy, thio, amino, nitro, cyano, formyl, amidino, guanidino, substituted or unsubstituted groups selected from linear or branched (C_1-C_{12})alkyl, (C_2-C_{12})alkenyl, (C_2-C_{12})alkynyl, (C_3-C_7)cycloalkyl, (C_3-C_7)cycloalkenyl, bicycloalkyl, bicycloalkenyl, (C_1-C_{12})alkoxy, cyclo(C_3-C_7)alkoxy, aryl, aryloxy, aralkyl, aralkoxy, heterocyclyl, heteroaryl, heterocyclylalkyl, heteroaralkyl, heteroaryloxy, heteroaralkoxy, heterocyclylalkyloxy, acyl, acyloxy, acylamino, monoalkylamino, dialkylamino, arylamino, diarylamino, aralkylamino, alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, heterocyclylalkoxycarbonyl, heteroaryloxycarbonyl, hydroxyalkyl, aminoalkyl, monoalkylaminoalkyl, dialkylaminoalkyl, alkoxyalkyl arylalkyl, aralkoxyalkyl, alkylthio, thioalkyl, alkoxycarbonylamino, aralkyloxycarbonylamino, aminocarbonylamino, alkylaminocarbonylamino, alkylamidino, alkylguanidino, dialkylguanidino, hydrazino, hydroxylamino, carboxylic acid and its derivatives, sulfonic acids and its derivatives, phosphoric acid and its derivatives; or the adjacent groups like R_1 and R_2 or R_2 and R_3 or R_3 and R_4 together with carbon atoms to which they are attached may form a five or a six membered ring, optionally containing one or more double bonds and optionally containing one or more heteroatoms selected from O, N, S and combinations of double bond and heteroatoms; or R_{11} and R_{12} together with carbon atoms to which they are attached may form a three to a six membered ring, optionally containing one or more double bonds and optionally

containing one or more heteroatoms selected from O, N, S and combinations of double bond and heteroatoms;

R_{10} represents hydrogen, formyl, substituted or unsubstituted groups selected from linear or branched (C_1-C_{12})alkyl, (C_2-C_{12})alkenyl, (C_2-C_{12})alkynyl, (C_3-C_7)cycloalkyl, (C_3-C_7)cycloalkenyl, bicycloalkyl, bicycloalkenyl, (C_1-C_{12})alkoxy, cyclo(C_3-C_7)alkoxy, aryl, aryloxy, aralkyl, aralkoxy, heterocyclyl, heteroaryl, heterocyclylalkyl, heteroaralkyl, heteroaryloxy, heteroaralkoxy, heterocyclylalkyloxy, acyl, acyloxy, acylamino, monoalkylamino, dialkylamino, arylamino, diarylamino, aralkylamino, hydroxyalkyl, aminoalkyl, monoalkylaminoalkyl, dialkylaminoalkyl, alkoxyalkyl aryfalkyl, aralkoxyalkyl, alkylthio, thioalkyl, alkoxycarbonylamino, aralkyloxycarbonylamino, aminocarbonylamino, alkylaminocarbonylamino, alkylamidino, alkylguanidino, dialkylguanidino, hydrazino, hydroxylamino, sulfonic acids and its derivatives, phosphoric acid and its derivatives;

R_{13} and R_{14} represents hydrogen, alkyl, aryl, aralkyl or together with nitrogen atom form a cyclic three to seven membered ring, optionally, R_{13} and R_{14} together may form a part of cyclic structure along with the intervening nitrogen; the heterocycle may have either one, two or three double bonds; optionally it may also contain one to three heteroatom selected from the group of oxygen, nitrogen and sulfur, and includes ring fused with any carbocyclic or heterocyclic ring, which can be saturated or unsaturated; optionally, R_{11} and R_{13} together may form a part of cyclic structure along with the intervening nitrogen and carbon atoms; the heterocycle may have either one, two or three double bonds; optionally it may also contain one to three heteroatom selected from the group of oxygen, nitrogen and sulfur, and includes ring fused with any carbocyclic or heterocyclic ring, which can be saturated or unsaturated; and "n" is an integer ranging from 1 to 8, preferably 1 to 4, wherein the carbon chains which "n" represents may be either linear or branched; and its stereoisomers and its salts; along with the proviso that,

i. whenever n =2, all of R_1, R_2, R_3, R_4 and R_{10} are together hydrogens then R_{13} and R_{14} are methyl or ethyl; then either of R_{11} or R_{12} is other than hydrogen, and its salts;

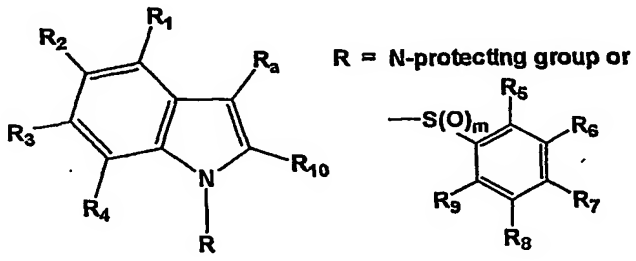
ii. whenever n =2, all of R_1, R_3, R_4 and R_{10} are together hydrogens, R_2 is methoxy, and R_{13} and R_{14} are methyl or ethyl; then either of R_{11} or R_{12} is other than hydrogen, and its salts;

iii. whenever n =2, all of R_1, R_3, R_4 and R_{10} are together hydrogens, R_2 is chloro, and R_{13} and R_{14} are methyl or ethyl; then either of R_{11} or R_{12} is other than hydrogen, and its salts;

iv. whenever n =2, all of R_1, R_3, R_4 and R_2 are together hydrogens, R_{10} is phenyl, and R_{13} and R_{14} are methyl or ethyl; then either of R_{11} or R_{12} is other than hydrogen, and its salts;

v. whenever n =3, all of R_1, R_2, R_3, R_4 and R_{10} are together hydrogens then R_{13} and R_{14} are methyl or ethyl; then either of R_{11} or R_{12} is other than hydrogen.

9. Novel intermediates defined of general formula (VI),

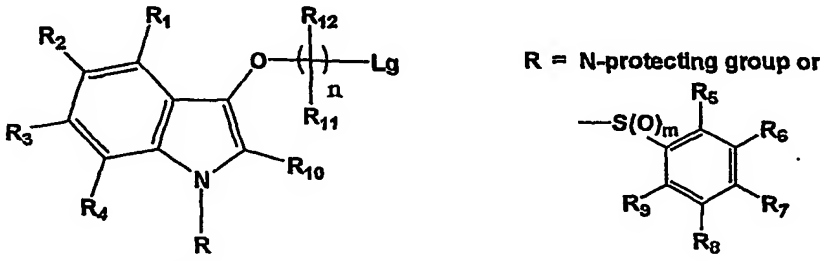


(VI)

wherein R_1, R_2, R_3, R_4, R_5, R_6, R_7, R_8, R_9 and R_{10} may be same or different, and represent hydrogen, halogen, perhaloalkyl, hydroxy, thio, amino, nitro, cyano, formyl, amidino, guanidino, substituted or unsubstituted groups selected from linear or branched (C_1-C_{12})alkyl, (C_2-C_{12})alkenyl, (C_2-C_{12})alkynyl, (C_3-C_7)cycloalkyl, (C_3-C_7)cycloalkenyl, bicycloalkyl, bicycloalkenyl, (C_1-C_{12})alkoxy, cyclo(C_3-C_7)alkoxy, aryl, aryloxy, aralkyl, aralkoxy, heterocyclyl, heteroaryl, heterocyclylalkyl, heteroaralkyl, heteroaryloxy, heteroaralkoxy, heterocyclylalkyloxy, acyl, acyloxy, acylamino, monoalkylamino, dialkylamino, arylamino, diarylamino, aralkylamino, alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, heterocyclylalkoxycarbonyl, heteroaryloxycarbonyl, hydroxyalkyl, aminoalkyl, monoalkylaminoalkyl, dialkylaminoalkyl, alkoxyalkyl arylalkyl, aralkoxyalkyl, alkylthio, thioalkyl, alkoxycarbonylamino, aralkyloxycarbonylamino, aminocarbonylamino, alkylaminocarbonylamino, alkylamidino, alkylguanidino, dialkylguanidino, hydrazino, hydroxylamino, carboxylic acid and its derivatives, sulfonic acids and its derivatives, phosphoric acid and its derivatives; or the adjacent groups like R_1 and R_2 or R_2 and R_3 or R_3 and R_4 or R_5 and R_6 or R_6 and R_7 or R_7 and R_8 or R_8 and R_9 together with carbon atoms to which they are attached may form a five or a six membered ring, optionally containing one or more double bonds and optionally containing one or

more heteroatoms selected from O, N, S and combinations of double bond and heteroatoms; "n" is an integer ranging from 1 to 8, preferably 1 to 4, wherein the carbon chains which "n" represents may be either linear or branched; and "m" is an integer ranging from 0 to 2 preferably m is 1 or 2; R_a is defined as either hydrogen, halogen (such as chloro or bromo), lithio, trimethylsilyl, lower alkoxy, boronic acid or trifluoromethanesulfonate groups; its stereoisomers and its salts; along with the proviso that whenever R is SO_2Ph, and all of R_1, R_2, R_3, R_4, R_5, R_6, R_7, R_8, R_9 and R_{10} substituents are hydrogen's, R_a never either of bromo, lithio, trimethylsilyl, boronic acid or trifluoromethanesulfonate groups.

10. Novel intermediates of general formula (VII) are represented as given below,

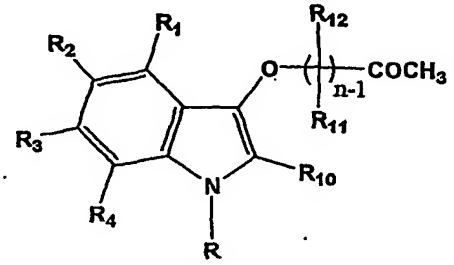


(VII)

wherein R_1, R_2, R_3, R_4, R_5, R_6, R_7, R_8, R_9, R_{10}, R_{11} and R_{12} may be same or different, and represent hydrogen, halogen, perhaloalkyl, hydroxy, thio, amino, nitro, cyano, formyl, amidino, guanidino, substituted or unsubstituted groups selected from linear or branched $(C_1\text{-}C_{12})$alkyl, $(C_2\text{-}C_{12})$alkenyl, $(C_2\text{-}C_{12})$alkynyl, $(C_3\text{-}C_7)$cycloalkyl, $(C_3\text{-}C_7)$cycloalkenyl, bicycloalkyl, bicycloalkenyl, $(C_1\text{-}C_{12})$alkoxy, cyclo$(C_3\text{-}C_7)$alkoxy, aryl, aryloxy, aralkyl, aralkoxy, heterocyclyl, heteroaryl, heterocyclylalkyl, heteroaralkyl, heteroaryloxy, heteroaralkoxy, heterocyclylalkyloxy, acyl, acyloxy, acylamino, monoalkylamino, dialkylamino, arylamino, diarylamino, aralkylamino, alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, heterocyclylalkoxycarbonyl, heteroaryloxycarbonyl, hydroxyalkyl, aminoalkyl, monoalkylaminoalkyl, dialkylaminoalkyl, alkoxyalkyl arylalkyl, aralkoxyalkyl, alkylthio, thioalkyl, alkoxycarbonylamino, aralkyloxycarbonylamino, aminocarbonylamino, alkylaminocarbonylamino, alkylamidino, alkylguanidino, dialkylguanidino, hydrazino, hydroxylamino, carboxylic acid and its derivatives, sulfonic acids and its derivatives, phosphoric acid and its derivatives; or the adjacent groups like R_1 and R_2 or R_2 and R_3 or R_3 and R_4 or R_5 and R_6 or R_6 and R_7 or R_7 and R_8 or R_8 and R_9 together with carbon atoms to which they are attached may form a five or a six membered ring, optionally containing one or more double bonds and optionally containing one or

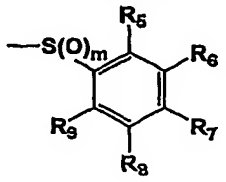
more heteroatoms selected from O, N, S and combinations of double bond and heteroatoms; or R_{11} and R_{12} together with carbon atoms to which they are attached may form a three to a six membered ring, optionally containing one or more double bonds and optionally containing one or more heteroatoms selected from O, N, S and combinations of double bond and heteroatoms; "n" is an integer ranging from 1 to 8, preferably 1 to 4, wherein the carbon chains which "n" represents may be either linear or branched; and "m" is an integer ranging from 0 to 2 preferably m is 1 or 2; R_a is defined as either hydrogen, halogen (such as chloro or bromo), lithio, trimethylsilyl, lower alkoxy, boronic acid or trifluoromethanesulfonate groups; and its stereoisomers and its salts.

11. Novel intermediates of general formula **(IX)** are represented as given below,



(IX)

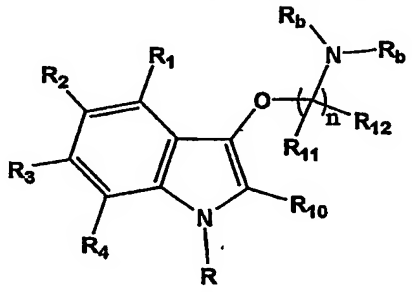
R = N-protecting group or



wherein R_1, R_2, R_3, R_4, R_5, R_6, R_7, R_8, R_9, R_{10}, R_{11} and R_{12} may be same or different, and represent hydrogen, halogen, perhaloalkyl, hydroxy, thio, amino, nitro, cyano, formyl, amidino, guanidino, substituted or unsubstituted groups selected from linear or branched $(C_1\text{-}C_{12})$alkyl, $(C_2\text{-}C_{12})$alkenyl, $(C_2\text{-}C_{12})$alkynyl, $(C_3\text{-}C_7)$cycloalkyl, $(C_3\text{-}C_7)$cycloalkenyl, bicycloalkyl, bicycloalkenyl, $(C_1\text{-}C_{12})$alkoxy, cyclo$(C_3\text{-}C_7)$alkoxy, aryl, aryloxy, aralkyl, aralkoxy, heterocyclyl, heteroaryl, heterocyclylalkyl, heteroaralkyl, heteroaryloxy, heteroaralkoxy, heterocyclylalkyloxy, acyl, acyloxy, acylamino, monoalkylamino, dialkylamino, arylamino, diarylamino, aralkylamino, alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, heterocyclylalkoxycarbonyl, heteroaryloxycarbonyl, hydroxyalkyl, aminoalkyl, monoalkylaminoalkyl, dialkylaminoalkyl, alkoxyalkyl arylalkyl, aralkoxyalkyl, alkylthio, thioalkyl, alkoxycarbonylamino, aralkyloxycarbonylamino, aminocarbonylamino, alkylaminocarbonylamino, alkylamidino, alkylguanidino, dialkylguanidino, hydrazino, hydroxylamino, carboxylic acid and its derivatives, sulfonic acids and its derivatives, phosphoric acid and its derivatives; or the adjacent groups like R_1 and R_2 or R_2 and R_3 or R_3 and R_4 or R_5 and R_6 or R_6 and R_7 or R_7 and R_8 or R_8 and R_9 together with carbon atoms to which they are attached may form a five or a six membered ring,

optionally containing one or more double bonds and optionally containing one or more heteroatoms selected from O, N, S and combinations of double bond and heteroatoms; "n" is an integer ranging from 1 to 8, preferably 1 to 4, wherein the carbon chains which "n" represents may be either linear or branched; and "m" is an integer ranging from 0 to 2 preferably m is 1 or 2; and its stereoisomers and its salts.

12. Novel intermediates of general formula (X) are represented as given below,



(X)

wherein R_1, R_2, R_3, R_4, R_5, R_6, R_7, R_8, R_9, R_{10}, R_{11} and R_{12} may be same or different, and represent hydrogen, halogen, perhaloalkyl, hydroxy, thio, amino, nitro, cyano, formyl, amidino, guanidino, substituted or unsubstituted groups selected from linear or branched $(C_1\text{-}C_{12})$alkyl, $(C_2\text{-}C_{12})$alkenyl, $(C_2\text{-}C_{12})$alkynyl, $(C_3\text{-}C_7)$cycloalkyl, $(C_3\text{-}C_7)$cycloalkenyl, bicycloalkyl, bicycloalkenyl, $(C_1\text{-}C_{12})$alkoxy, cyclo$(C_3\text{-}C_7)$alkoxy, aryl, aryloxy, aralkyl, aralkoxy, heterocyclyl, heteroaryl, heterocyclylalkyl, heteroaralkyl, heteroaryloxy, heteroaralkoxy, heterocyclylalkyloxy, acyl, acyloxy, acylamino, monoalkylamino, dialkylamino, arylamino, diarylamino, aralkylamino, alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, heterocyclylalkoxycarbonyl, heteroaryloxycarbonyl, hydroxyalkyl, aminoalkyl, monoalkylaminoalkyl, dialkylaminoalkyl, alkoxyalkyl arylalkyl, aralkoxyalkyl, alkylthio, thioalkyl, alkoxycarbonylamino, aralkyloxycarbonylamino, aminocarbonylamino, alkylaminocarbonylamino, alkylamidino, alkylguanidino, dialkylguanidino, hydrazino, hydroxylamino, carboxylic acid and its derivatives, sulfonic acids and its derivatives, phosphoric acid and its derivatives; or the adjacent groups like R_1 and R_2 or R_2 and R_3 or R_3 and R_4 or R_5 and R_6 or R_6 and R_7 or R_7 and R_8 or R_8 and R_9 together with carbon atoms to which they are attached may form a five or a six membered ring, optionally containing one or more double bonds and optionally containing one or more heteroatoms selected from O, N, S and combinations of double bond and heteroatoms; "n" is an integer ranging from 1 to 8, preferably 1 to 4, wherein

the carbon chains which "n" represents may be either linear or branched; and "m" is an integer ranging from 0 to 2 preferably m is 1 or 2; R_b is either benzyl or hydrogen; and its stereoisomers and its salts.

13. Use of the compounds as claimed in Claim -1, in combination with other pharmaceutical agents, such as apo-B/MTP inhibitors, MCR-4 agonists, CCK-A agonists, monoamine reuptake inhibitors, sympathomimetic agents, adrenergic receptor agonists, dopamine agonists, melanocyte-stimulating hormone receptor analogs, cannabinoid 1 receptor antagonists, melanin concentrating hormone antagonists, leptins, leptin analogs, leptin receptor agonists, galanin antagonists, lipase inhibitors, bombesin agonists, neuropeptide-Y antagonists, thyromimetic agents, dehydroepiandrosterone or analogs thereof, glucocorticoid receptor agonists or antagonists, orexin receptor antagonists, urocortin binding protein antagonists, glucagon-like peptide-1 receptor agonists, ciliary neurotrophic factors, AGRPs (human agouti-related proteins), ghrelin receptor antagonists, histamine 3 receptor antagonists or reverse agonists, neuromedin U receptor agonists, in a therapeutically effective amount via a suitable pharmaceutical composition, to achieve the desired effect in mammals as well as humans.

14. Use of compound of general formula (I), as defined in Claim-1 or a pharmaceutical composition as defined in Claim-3 for preparing the medicaments.

15. Use of a compound as claimed in Claim-1 for the treatment and/or prevention of clinical conditions such as anxiety, depression, convulsive disorders, obsessive-compulsive disorders, migraine headache, cognitive memory disorders, ADHD (Attention Deficient Disorder/ Hyperactivity Syndrome), personality disorders, psychosis, paraphrenia, psychotic depression, mania, schizophrenia, schizophreniform disorders, withdrawal from drug abuse, panic attacks, chronobiological abnormalities, circadian rhythms, anxiolytic, osteoporosis, ischemic stroke, lower the risk of SIDS in young infants with low endogenous melatonin levels, reproduction, glaucoma, sleep disorders and also disorders associated with spinal trauma and /or head injury.

16. Use of a compound as claimed in Claim-1 for the treatment of mild cognitive impairment and other neurodegenerative disorders like Alzheimer's disease, Parkinsonism and Huntington's chorea.

17. Use of a compound as claimed in Claim-1 for the treatment of certain GI (Gastrointestinal) disorders such as IBS (Irritable bowel syndrome) or chemotherapy induced emesis.

18. Use of a compound as claimed in Claim-1 to reduce morbidity and mortality associated with the excess weight.

19. Use of a radiolabelled compound as claimed in Claim-1, as a diagnostic tool for modulating 5-HT and/or Melatonin receptor function.